

SUBSTITUTE FORM PTO-1449
(MODIFIED)

U.S. DEPARTMENT OF COMMERCE
PATENT AND TRADEMARK OFFICE

ATTY. DOCKET NO.
07662/014001

SERIAL NO.
097089,097-

INFORMATION DISCLOSURE
STATEMENT BY APPLICANT
(Use several sheets if necessary)

APPLICANT
Freire et al

FILING DATE
June 2, 1998

GROUP
2785 1631

(37 CFR 1.98(b))

U.S. PATENT DOCUMENTS

EXAMINER INITIAL		PATENT NUMBER	ISSUE DATE	PATENTEE	CLASS	SUBCLASS	FILING DATE IF APPROPRIATE
msy	AA	5 3 3 1 5 7 3	7/19/1994	Balaji et al	364	500	
msy	AB	5 4 3 4 7 9 6	7/18/1995	Weininger	364	494	
msy	AC	5 6 4 2 2 9 2	6/24/1997	Itai et al	364	494	
msy	AD	5 4 9 5 4 2 3	2/96	DeLisi et al.	364	494	
msy	AE	5 8 5 4 9 9 2	12/98	Shakhnovich et al.	702	27	
msy	AF	5 6 1 2 8 9 5	3/97	Balaji et al.	364	494	
	AG	5 6 4 2 2 9 2	6/97	Itai et al.			
msy	AH	5 8 6 7 4 0 2	2/99	Schneider et al.	364	494	
	AI						
	AJ						
	AK						

FOREIGN PATENT OR PUBLISHED FOREIGN PATENT APPLICATION

		DOCUMENT NUMBER	PUBLICATION DATE	COUNTRY OR PATENT OFFICE	CLASS	SUBCLASS	TRANSLATION	
							YES	NO
	AL							
	AM							
	AN							
	AO							
	AP							

OTHER DOCUMENTS (Including Author, Title, Date, Place of Publication)

msy	AQ	Abdel-Meguid, Sherin et al, "An Orally Bioavailable HIV-1 Protease Inhibitor Containing an Imidazole-Derived Peptide Bond Replacement: Crystallographic and Pharmacokinetic Analysis", <i>Biochem.</i> , 1994, 33:11671-77
msy	AR	Bailey, David et al, "X-Ray-Crystallographic Studies of Complexes of Pestatin A and A Statine Containing Human Renin Inhibitor with Exdothiapepsin", <i>Biochem.</i> , 1993, 289: 363-371
msy	AS	Baldwin, Eric T. et al, "Structural Basis of Drug Resistance for the V82A Mutant of HIV-1 Proteinase", <i>Nature Struc. Biol.</i> , 1995, 2:244-249

EXAMINER

MG Moran

DATE CONSIDERED

10/31/02

EXAMINER: Initial citation considered. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

INFORMATION DISCLOSURE
STATEMENT BY APPLICANT
(Use several sheets if necessary)

(37 CFR 1.98(b))

ATTY. DOCKET NO.
07662/014001SERIAL NO.
097089,097APPLICANT
Freire et alFILING DATE
June 2, 1998GROUP
2785/P3/PTO
09/734696
12/13/00

OTHER DOCUMENTS (Including Author, Title, Date, Place of Publication)

BA	Baldwin, Robert L., "Temperature Dependence of the Hydrophobic Interaction in Protein Folding", <i>Proc. Nat'l Acad. Sci. USA</i> , 1986, 83:809-8072
BB	Bardi, Jason S. et al, "Structure-Based Thermodynamic Analysis of HIV-1 Protease Inhibitors", <i>Biochem.</i> , 1997, 36:6588-6596
BC	Blundell, T.L. et al, "X-Ray Analyses of Aspartic Proteinases" "The Three-Dimensional Structure at 2-1 Å Resolution of Endothiapepsin", <i>J. Mol. Biol.</i> , 1990, 211:919-941
BD	Brown, Eric D. et al, "Purification of Two Fungal Aspartic Proteinases Using Fast Protein Liquid Chromatography", <i>Agric. Biol. Chem.</i> , 1990, 54:1563-1565
BE	Cabani, Sergio et al, "Group Contributions to the Thermodynamic Properties of Non-Ionic Organic Solutes in Dilute Aqueous Solution", <i>J. Sol. Chem.</i> , 1981, 10:563-595
BF	Cha, Sungman, "Tight-Binding Inhibitors-I" "Kinetic Behavior", <i>Biochem. Pharmac.</i> , 1975, 24:2177-2185
BG	Condra, Jon H. et al, "In vivo Emergence of HIV-1 Variants Resistant to Multiple Protease Inhibitors", <i>Nature</i> , 1995, 374: 569-570
BH	D'Aquino, J. Alejandro et al, "The Magnitude of the Backbone Conformational Entropy Change in Protein Folding", <i>Proteins</i> , 1996, 25:143-156
BI	Dunn, Ben M. et al, "A Systematic Series of Synthetic Chromophoric Substrates for Aspartic Proteinases", <i>Biochem. J.</i> , 1986, 237:899-896
BJ	Erickson, John et al, "Design, Activity, and 2.8 Å Crystal Structure of a C ₂ Symmetric Inhibitor Complexed to HIV-1 Protease", <i>Science</i> , 1990, 249:527-529
BK	Fassler, A. et al, "Novel Pseudosymmetric Inhibitors of HIV-1 Protease", <i>Bioorg. Med. Chem. Lett.</i> 1993, 3:2837-2842
BL	Freire, Ernesto et al, "Isothermal Titration", <i>Anal. Chem.</i> , 1990, 62:950-959
BM	Freire, Ernesto et al, "Molecular Basis of Co-Operativity in Protein Folding" <i>J. Mol. Biol.</i> , 1991, 222:687-698
BN	Freire, Ernesto, "Perspectives in Biochemistry and Biophysics", <i>Archives Biochem. Biophys.</i> , 1993, 303:181-184

EXAMINER

DATE CONSIDERED

EXAMINER: Initial citation considered. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

SUBSTITUTE FORM PTO-1449 (MODIFIED)		U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE		ATTY. DOCKET NO. 07662/014001		SERIAL NO. 09/089,097 09/134,696	
INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Use several sheets if necessary) (37 CFR 1.98(b))				APPLICANT Freire et al			
				FILING DATE June 2, 1998		GROUP 2785 1631	
OTHER DOCUMENTS (Including Author, Title, Date, Place of Publication)							
ms	CA	Garcia-Moreno, Bertrand E., "Probing Structural and Physical Basis of Protein Energetics Linked to Protons and Salt", <i>Methods Enzymol.</i> , 1995, 259:512-528					
ms	CB	Gomez, Javier et al, "The Heat Capacity of Proteins", <i>Proteins: Structure, Function and Genetics</i> , 1995, 22:404-412 (1995)					
ms	CC	Gomez, Javier et al, "Thermodynamic Mapping of the Inhibitor Site of the Aspartic Protease Endothiapepsin", <i>J. Mol. Biol.</i> , 1995, 252:337-350					
ms	CD	Gomez, Javier et al, "Structural Thermodynamic Study of the Binding of Renin Inhibitors to Endothiapepsin",					
		"Structure and Function of Aspartic Proteinases: Retroviral and Cellular Enzymes", (Eds. James, M.N.G.),					
		Plenum Publishing Co., New York, 1997					
ms	CE	Hilser, Vincent J. et al, "Structure-based Calculation of the Equilibrium Folding Pathway of Proteins. Correlation with Hydrogen Exchange Protection Factors", <i>J. Mol. Biol.</i> , 1996(a) 262, 756-772					
ms	CF	Hilser, Vincent, J. et al, "The Enthalpy Change in Protein Folding and Binding: Refinement of Parameters for Structure-Based Calculations", <i>Proteins</i> , 1996, 26:123-133					
ms	CG	Hilser, Vincent, J. et al, "Predicting the Equilibrium Protein Folding Pathway: Structure-Based Analysis of Staphylococcal Nuclease" <i>Proteins</i> , 1997(a), 27:117-183.					
ms	CH	Hilser, Vincent J. et al, "Structure-based Statistical Thermodynamic Analysis of T4 Lysozyme Mutants: Structural Mapping of Cooperative Interactions" <i>Biophysical Chem.</i> , 1997 (b), 64: 69-79					
ms	CI	Ho, David D. et al, "Characterization of Human Immunodeficiency Virus Type 1 Variants with Increased Resistance to a C ₂ -Symmetric Protease Inhibitor", <i>J. Virol.</i> , 1994, 68:2016-2020					
ms	CJ	Hoog, Susan S., "A Check on Rational Drug Design: Crystal Structure of a Complex of Human Immunodeficiency Virus Type 1 Protease with a Novel γ-Turn Mimetic Inhibitor", <i>J. Med. Chem.</i> , 1995, 38:3426-3252					
ms	CK	Hyland, Lawrence, J., "Human Immunodeficiency Virus-1 Protease. 2. Use of pH Rate Studies and Solvent Kinetic Isotope Effects to Elucidate Details of Chemical Mechanism", <i>Biochemistry</i> , 1991, 30:8454-8463					
ms	CL	Iijima, Hiroshi et al, "Calibration of Effective Van Der Waals Atomic Contact Radii for Proteins and Peptides", <i>Proteins</i> , 1987, 2:330-339					
ms	CM	Janin, Joel et al, "Conformation of Amino Acid Side-Chains in Proteins", <i>J. Mol. Biol.</i> , 1978, 125:357-386					
EXAMINER				DATE CONSIDERED			
MG Moran				10/3/10			
EXAMINER: Initial citation considered. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.							

Substitute Disclosure Form (PTO-1449)

SUBSTITUTE FORM PTO-1449 (MODIFIED)		U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE		ATTY. DOCKET NO. 07662/014001		SERIAL NO. 09/089,097 09/734,696	
INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Use several sheets if necessary) (37 CFR 1.98(b))				APPLICANT Freire et al			
				FILING DATE June 2, 1998		GROUP 2785 16 31	
OTHER DOCUMENTS (Including Author, Title, Date, Place of Publication)							
msu	DA	Janin, Joel, "Elusive Affinities", <i>Proteins</i> , 1995, 21:30-39					
msu	DB	Kaplan, Andrew, H., "Selection of Multiple Human Immunodeficiency Virus Type 1 Variants that Encode Viral					
		Proteases with Decreased Sensitivity to an Inhibitor of the Viral Protease", <i>Proc. Natl. Acad. Sci. USA</i> , 1994, 91:5597-5601					
msu	DC	Kauzmann, W., "Some Factors in the Interpretation of Protein Denaturation", <i>Adv. Protein Chem.</i> , 1959, 14:1-63					
msu	DD	Kim, E.E. et al, "Crystal Structure of HIV-1 Protease in Complex with VX-478, a Potent and Orally					
		Bioavailable Inhibitor of the Enzyme" <i>J. Am. Chem. Soc.</i> , 1995, 117:1181-1182					
msu	DE	Kuzmic, Petr, "Program DYNAFIT for the Analysis of Enzyme Kinetic Data: Application to HIV Proteinase", <i>Anal. Biochem.</i> , 1996, 237:260-273					
msu	DF	Larson, Merle K., "Endothia Parasitica Protease. Parameters Affecting Activity of the Rennin-like Enzyme", <i>J. Dairy Sci.</i> , 1970, 53:253-261					
msu	DG	Lee, B. et al, "The Interpretation of Protein Structures: Estimates of Static Accessibility", <i>J. Mol. Biol.</i> , 1971, 55:379-400					
msu	DH	Lee, Kon Ho et al, "Estimation of Changes in Side Chain Configurational Entropy in Binding and Folding: General Methods and Application to Helix Formation", <i>Proteins: Struct. Func. and Genetics</i> , 1994, 20:68-84					
msu	DI	Levitt, Michael, "Energy Refinement of Hen Egg-White Lysozyme", <i>J. Mol. Biol.</i> , 1974, 82:393-420					
msu	DJ	Lin, Yingzhang et al, "Effect of Point Mutations on the Kinetics and the Inhibition of Human Immunodeficiency Virus Type 1 Protease: Relationship to Drug Resistance", <i>Biochem.</i> , 1993, 34:1143-1152					
msu	DK	Luque, Irene et al, "Structure-Based Thermodynamic Scale of α -Helix Propensities in Amino Acids", <i>Biochemistry</i> , 1996, 35:13681-13688					
msu	DL	Madhusoodan, Hosur, V. et al, "Influence of Stereochemistry on Activity and Binding Modes for C_2 Symmetry- Based Diol Inhibitors of HIV-1 Protease", <i>J. Am. Chem. Soc.</i> , 1994, 116:847-855					
msu	DM	Murphy, Kenneth P. et al, "Molecular Basis of Co-operativity in Protein Folding. III. Structural Identification of Cooperative Folding Units and Folding Intermediates", <i>J. Mol. Biol.</i> , 1992, 227:293-306					
EXAMINER M.G. Moran				DATE CONSIDERED 10/31/02			
EXAMINER: Initial citation considered. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.							

Substitute Disclosure Form (PTO-1449)

SUBSTITUTE FORM PTO-1449 (MODIFIED)		U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE		ATTY. DOCKET NO. 07662/014001		SERIAL NO. 07/089,097	
INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Use several sheets if necessary) (37 CFR 1.98(b))				APPLICANT Freire et al		07/739,696	
				FILING DATE June 2, 1998		GROUP 2785/601	
OTHER DOCUMENTS (Including Author, Title, Date, Place of Publication)							
msy	EA	Murphy, Kenneth P. et al, "Thermodynamics of Structural Stability and Cooperative Folding Behavior in Proteins", <i>Adv. Protein Chem.</i> , 1992, 43:313-361					
msy	EB	Murphy, Kenneth P. et al, "Structural Energetics of Peptide Recognition: Angiotensin II/Antibody Binding", <i>Proteins: Struc. Func. Genetics</i> , 1993 15:113-120					
msy	EC	Murphy, Kenneth P. et al, "Entropy in Biological Binding Processes: Estimation of Transnational Entropy Loss", <i>Proteins: Struc. Func. Genetics</i> , 1994, 18:63-67					
msy	ED	Rich, Daniel H., "Inhibitors of Cysteine Proteinases", in <i>Proteinase Inhibitors</i> , (eds. Barret & Salvesen) (Elsevier Science Publishers, New York, 1986)					
msy	EE	Rich, Daniel H. et al, "Mechanism of Inhibition of Pepsin by Pepstatin. Effect of Inhibitor Structure of Dissociation Constant and Time-Dependent Inhibition", <i>Biochem. Pharmacol.</i> , 1980 29:2205-2212					
msy	EF	Roberts, Noel A., "Drug-Resistance Patterns of Saquinavir and Other HIV Proteinase Inhibitors" <i>AIDS</i> , 1995, 9:S27-S32					
msy	EG	Schinazi, Raymond F. et al, "Mutations in Retroviral Genes Associated with Drug Resistance", <i>Int. Antiviral News</i> , 1996, 4:95-100					
msy	EH	Smith, Ross et al, "Ionization States of the Catalytic Residues in HIV-1 Protease", <i>Nature Struc. Biol.</i> , 1996, 3:946-950					
msy	EI	Spinelli S. et al, "The Three-Dimensional Structure of the Aspartyl Protease from the HIV-1 Isolate BRU", <i>Biochimie</i> , 1991, 73:1391-1396					
msy	EJ	Straume, Martin et al, "Thermodynamic Strategies for Protein Design: Increased Temperature Stability", In <i>Biocatalysis at Extreme Temperature: Enzyme Near and Above 100°C</i> , (Adams M.W.W. & Kelly R.M., eds) 1992, pp. 122-135, ACS Books, Washington, DC					
msy	EK	Thaisrivongs, Suvit et al, "Structure-Based Design of Novel HIV Protease Inhibitors: Carboxamide-Containing 4-Hydroxycoumarins and 4-Hydroxy-2-pyrones as Potent Nonpeptidic Inhibitors", <i>J. Med. Chem.</i> , 1995, 38:3624-3637					
msy	EL	Thompson, Scott K. et al, "Rational Design, Synthesis, and Crystallographic Analysis of a Hydroxethylene-Based HIV-1 Protease Inhibitor Containing a Heterocyclic P ₂ -P ₂ Amide Bond Isotere", <i>J. Med. Chem.</i> , 1994, 37:3100-3107					
msy	EM	Tisdale, Margaret, "HIV Protease Inhibitors-Resistance Issues", <i>Int. Antiviral News</i> , 1996, 4:41-43					
EXAMINER				DATE CONSIDERED			
MQ Moran				10/3/02			
EXAMINER: Initial citation considered. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.							

Substitute Disclosure Form (PTO-1449)

SUBSTITUTE FORM PTO-1449 (MODIFIED)		U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE		ATTY. DOCKET NO. 07662/014001		SERIAL NO. 09/089,092	
INFORMATION DISCLOSURE STATEMENT BY APPLICANT (Use several sheets if necessary) (37 CFR 1.98(b))				APPLICANT Freire et al		09/734,686	
				FILING DATE June 2, 1998		GROUP 2785/63/	
OTHER DOCUMENTS (Including Author, Title, Date, Place of Publication)							
msy	FA	Wang, Yun-Xing et al, "Solution NMR Evidence That the HIV-1 Protease Catalytic Aspartyl Groups Have Different Ionization States in the Complex Formed with the Asymmetric Drug KNI-272", <i>Biochemistry</i> , 1996, 35:9945-9950					
		Williams, Jeffrey W. et al, "The Kinetics of Reversible Tight-Binding Inhibition", <i>Methods Enzymol.</i> , 1970, 19:436-467					
msy	FB	Wlodawer, Alexander et al, "Structure-Based Inhibitors of HIV-1 Protease", <i>Ann. Rev. Biochem.</i> , 1993, 179:543-585					
		Xie, Dong et al, "Molecular Basis of Cooperativity in Protein Folding V. Thermodynamic and Structural Conditions for the Stabilization of Compact Denatured States", <i>Proteins: Struct. Func. Genetics</i> , 1994(a) 19:291-301					
msy	FC	Xie, Dong et al, "Structure Based Prediction of Protein Folding Intermediates", <i>J. Mol. Biol.</i> , 1994(b), 24:62-80					
		Whitaker, "Protease of <i>Endothia parasitica</i> " <i>Methods in Enzymol.</i> 19:436-445, 1970.					
msy	FD	Wiseman et al., "Rapid Measurement of Binding Constants and Heats of Binding Using a New Titration Calorimeter" <i>Anal. Biochem.</i> 179:131-135, 1989.					
		Dang, A.M. et al., "Three Dimensional Structure of a Natural Autoantibody: A Predicted Model ..."; Int's Conf. of IEEE Vol. 14, 10/92, pp. 202-203					
msy	FE	Fisher, M.A. et al.; "A Computer Assisted Receptor Mapping Approach to the Design of Anti-AIDS Agents Directed at HIV Reverse Transcriptase"; Proc. of 1993 IEEE 19th Annual Northeast Bioengineering Conf., March, 1993, pp. 162-163					
		Ho, C.M.W. et al., "De Novo Design of Ligands", Proc. of 27th Hawaii Int'l Conf. on System Sciences; Vol. 5, January 1994, pp. 213-222					
msy	FF						
msy	FG						
msy	FH						
msy	FI						
msy	FJ						
msy	FK						
msy	FL						
msy	FM						
EXAMINER MG Shran				DATE CONSIDERED 10/31/02			
EXAMINER: Initial citation considered. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.							

Substitute Disclosure Form (PTO-1449)